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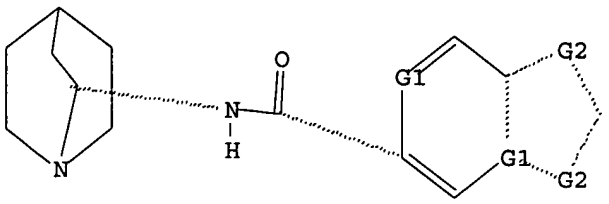


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G2 C,O,S,N


Structure attributes must be viewed using STN Express query preparation.


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SAMPLE SCREEN SEARCH COMPLETED -     5696 TO ITERATE

 35.1% PROCESSED     2000 ITERATIONS                                 23 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       109395 TO   118445
PROJECTED ANSWERS:             825 TO     1795

L2           23 SEA SSS SAM L1

=> s l1 ful
FULL SEARCH INITIATED 15:13:35 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   113496 TO ITERATE

100.0% PROCESSED   113496 ITERATIONS                               975 ANSWERS
SEARCH TIME: 00.00.01

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COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
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FILE 'CAPLUS' ENTERED AT 15:13:44 ON 16 FEB 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 16 Feb 2006 VOL 144 ISS 8
FILE LAST UPDATED: 15 Feb 2006 (20060215/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l3
L4 29 L3

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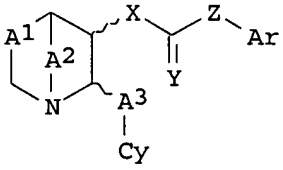
L5 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN
GI



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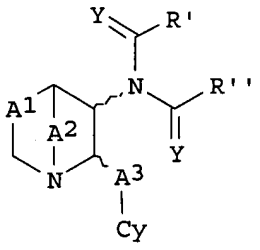

II

AB The present invention relates to 3-substituted-2-(arylalkyl)-1-azabicycloalkanes I [A1 = (CH2)n; A2 = (CH2)m; A3 = (CH2)p; m, n = 1, 2; p = 1 - 4; X = O, NR'; Z = NR', covalent bond, A; A = CR'R'', CR'R''CR'R'', CR':CR', C.tplbond.C (wherein, when Z = bond or A, X = N); Ar = (un)substituted carbocyclic, heterocyclic monocyclic or fused polycyclic aryl; Cy = (un)substituted 5- or 6-membered heteroarom. ring; wavy lines = relative or absolute stereochem. (cis or trans, R or S); R', R'' = H, (un)branched C1-8-alkyl, C3-8-cycloalkyl, heterocyclyl, aryl, arylalkyl {wherein, substituents = alkyl, alkenyl, heterocyclyl, cycloalkyl, (un)substituted aryl, (un)substituted arylalkyl, F, Cl, Br, I, OR', NR'R'', CF3, CN, NO2, C.tplbond.CR', SR', N3, C(:O)NR'R'', NR'C(:O)R'', C(:O)R', C(:O)OR', OC(:O)R', O(CR'R'')rC(:O)R', O(CR'R'')rNR''C(:O)R', O(CR'R'')rNR''SO2R', OC(:O)NR'R'', NR'C(:O)OR'', SO2R', SO2NR'R'', NR'SO2R''}; R'R'' = ring; r = 1 - 6] and II, methods of preparing the compds.

and methods of treatment using the compds. The azabicycloalkanes generally are azabicycloheptanes, azabicyclooctanes, or azabicyclononanes. The aryl group in the arylalkyl moiety is a 5- or 6-membered ring heteroarom., preferably 3-pyridinyl and 5-pyrimidinyl moieties, and the alkyl group is typically a C 1-4 alkyl. The substituent at the 3-position of the 1-azabicycloalkane is a carbonyl group-containing moiety, such as an amide, carbamate, urea, thioamide, thiocarbamate, thiourea or similar functionality. The compds. exhibit activity at nicotinic acetylcholine receptors (nAChRs), particularly the α7 nAChR subtype, and are useful towards modulating neurotransmission and the release of ligands involved in neurotransmission. Methods for preventing or treating conditions and disorders, including central nervous system (CNS) disorders, which are characterized by an alteration in normal neurotransmission, are also disclosed. Also disclosed are methods for treating inflammation, autoimmune disorders, pain and excess neovascularization, such as that associated with tumor growth.

AN 2004:3665 CAPLUS

DN 140:77298

TI Preparation of 3-substituted-2(arylalkyl)-1-azabicycloalkanes and methods of treatment using these compounds

IN Mazurov, Anatoly A.; Klucik, Jozef; Miao, Lan; Seamans, Angela S.; Phillips, Teresa Youngpeter; Schmitt, Jeffrey Daniel; Miller, Craig Harrison

PA Targacept, Inc., USA

SO U.S. Pat. Appl. Publ., 38 pp., Cont.-in-part of U.S. Ser. No. 162,129. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 2

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PATENT FAMILY INFORMATION:

FAN 2000:401824

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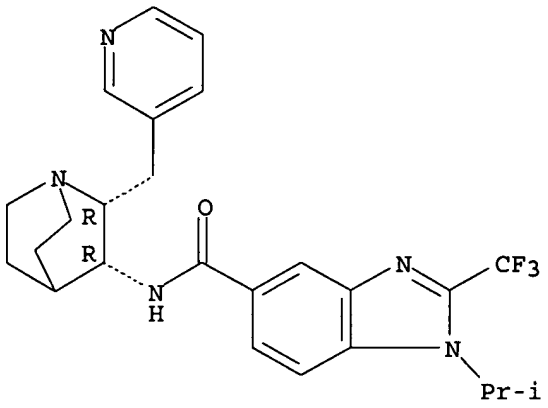
OS MARPAT 140:77298

IT **639489-48-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-substituted-2(arylalkyl)-1-azabicycloalkanes exhibiting activity at nicotinic acetylcholine receptors)

RN 639489-48-8 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, 1-(1-methylethyl)-N-[(2R,3R)-2-(3-pyridinylmethyl)-1-azabicyclo[2.2.2]oct-3-yl]-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN
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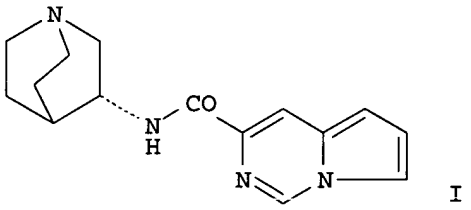

I

AB N-(azabicyclyl)arylamides, such as RNR1C(:X)W [R = azabicyclyl; R1 = H, alkyl, cycloalkyl, haloalkyl, aryl; W = heteroaryl; X = O, S], were prepared for therapeutic use as nicotinic acetylcholine receptor agonists. These amides are useful for the treatment of central nervous system disorders, such as cognitive and attention deficit symptoms of Alzheimer's, neurodegeneration associated with diseases such as Alzheimer's disease, pre-senile dementia (mild cognitive impairment), senile dementia, schizophrenia, psychosis, attention deficit disorder, attention deficit hyperactivity disorder, mood and affective disorders, amyotrophic lateral sclerosis, borderline personality disorder, traumatic brain injury, behavioral and cognitive problems associated with brain tumors, AIDS dementia complex, dementia associated with Down's syndrome, dementia associated with Lewy Bodies, Huntington's disease, depression, general anxiety disorder, age-related macular degeneration, Parkinson's disease, tardive dyskinesia, Pick's disease, post traumatic stress disorder, dysregulation of food intake including bulimia and anorexia nervosa, withdrawal symptoms associated with smoking cessation and dependent drug cessation, Gilles de la Tourette's Syndrome, glaucoma, neurodegeneration associated with glaucoma, or symptoms associated with pain. Thus, the hydrochloride salt of amide I was prepared via a multistep synthetic sequence which concluded with an amidation reaction of pyrrolo[1,2-c]pyrimidine-3-carboxylic acid hydrochloride with (R)-(+)-3-aminoquinuclidine dihydrochloride using diphenylphosphinic chloride and Et3N in THF. The prepared amides were assayed for human α7-5HT3 receptor binding activity.

AN 2003:678814 CAPLUS
DN 139:214613
TI Preparation of N-(azabicyclyl)arylamides for therapeutic use as nicotinic acetylcholine receptor agonists
IN Rogers, Bruce N.; Piotrowski, David W.; Walker, Daniel P.; Jacobsen, Eric Jon; Acker, Brad A.; Wishka, Donn G.; Groppi, Vincent E., Jr.
PA Pharmacia & Upjohn Company, USA
SO PCT Int. Appl., 167 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

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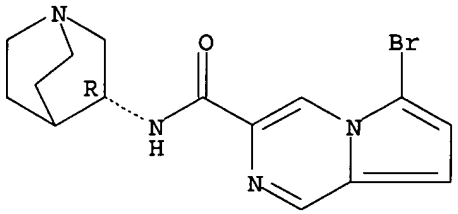
OS MARPAT 139:214613
IT **588720-60-9P**

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of N-(azabicyclyl)arylamides for therapeutic use as nicotinic acetylcholine receptor agonists)

RN 588720-60-9 CAPLUS

CN Pyrrolo[1,2-a]pyrazine-3-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-6-bromo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN

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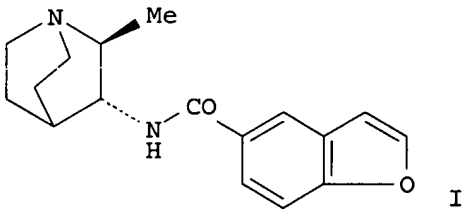

I

AB N-(azabicyclyl)arylamides, such as RNR1C(:X)W [R = azabicyclyl; R1 = H, alkyl, cycloalkyl, haloalkyl, aryl; W = heteroaryl; X = O, S], were prepared for therapeutic use as nicotinic acetylcholine receptor agonists. These amides are useful for the treatment of central nervous system disorders, such as cognitive and attention deficit symptoms of Alzheimer's, neurodegeneration associated with diseases such as Alzheimer's disease, pre-senile dementia (mild cognitive impairment), senile dementia, schizophrenia, psychosis, attention deficit disorder, attention deficit hyperactivity disorder, mood and affective disorders, amyotrophic lateral sclerosis, borderline personality disorder, traumatic brain injury, behavioral and cognitive problems associated with brain tumors, AIDS dementia complex, dementia associated with Down's syndrome, dementia associated with Lewy Bodies, Huntington's disease, depression, general anxiety disorder, age-related macular degeneration, Parkinson's disease, tardive dyskinesia, Pick's disease, post traumatic stress disorder, dysregulation of food intake including bulimia and anorexia nervosa, withdrawal symptoms associated with smoking cessation and dependent drug cessation, Gilles de la Tourette's Syndrome, glaucoma, neurodegeneration associated with glaucoma, or symptoms associated with pain. Thus, the hydrochloride salt of amide I was

prepared via a multistep synthetic sequence which included an amidation reaction of the corresponding (2S,3R)-azabicyclic amine with 5-benzofurancarboxylic acid. The prepared amides were assayed for human α7-5HT3 receptor binding activity.

AN 2003:678813 CAPLUS
DN 139:214612
TI Preparation of N-(azabicyclyl)arylamides for therapeutic use as nicotinic acetylcholine receptor agonists
IN Walker, Daniel P.; Piotrowski, David W.; Jacobsen, Eric Jon; Acker, Brad A.; Groppi, Vincent E., Jr.
PA Pharmacia & Upjohn Company, USA
SO PCT Int. Appl., 145 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

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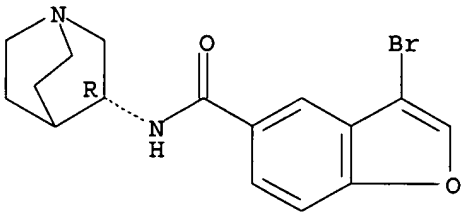
OS MARPAT 139:214612
IT **588703-34-8P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of N-(azabicyclyl)arylamides for therapeutic use as nicotinic acetylcholine receptor agonists)

RN 588703-34-8 CAPLUS

CN 5-Benzofurancarboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-3-bromo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN

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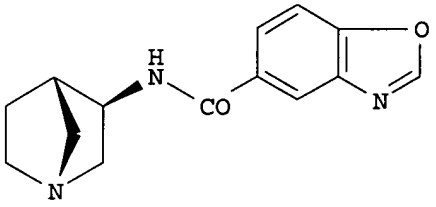

I

AB N-(azabicyclyl)arylamides, such as RNR1C(:X)W [R = azabicyclyl; R1 = H, alkyl, cycloalkyl, haloalkyl, aryl; W = heteroaryl; X = O, S], were prepared for therapeutic use as nicotinic acetylcholine receptor agonists. These amides are useful for the treatment of central nervous system disorders, such as cognitive and attention deficit symptoms of Alzheimer's, neurodegeneration associated with diseases such as Alzheimer's disease, pre-senile dementia (mild cognitive impairment), senile dementia, schizophrenia, psychosis, attention deficit disorder, attention deficit hyperactivity disorder, mood and affective disorders, amyotrophic lateral sclerosis, borderline personality disorder, traumatic brain injury, behavioral and cognitive problems associated with brain tumors, AIDS dementia complex, dementia associated with Down's syndrome, dementia associated with Lewy Bodies, Huntington's disease, depression, general anxiety disorder, age-related macular degeneration, Parkinson's disease, tardive dyskinesia, Pick's disease, post traumatic stress disorder, dysregulation of food intake including bulimia and anorexia nervosa, withdrawal symptoms associated with smoking cessation and dependent drug cessation, Gilles de la Tourette's Syndrome, glaucoma, neurodegeneration associated with glaucoma, or symptoms associated with pain. Thus, the fumarate salt of amide I was prepared via a multistep synthetic sequence which included intramol. cyclization of trans-3-(tert-butoxycarbonylamino)-4-(2-hydroxyethyl)-1-(phenylmethyl)pyrrolidine to form exo-3-(tert-butoxycarbonylamino)-1-azabicyclo[2.2.1]heptane, which contains the target azabicyclic ring, and subsequent amidation of the corresponding azabicyclic amine with 1,3-benzoxazole-5-carboxylic acid. The prepared amides were assayed for

human α7-5HT3 receptor binding activity.
AN 2003:356448 CAPLUS
DN 138:368781
TI Preparation of N-(azabicyclyl)arylamides for therapeutic use as nicotinic acetylcholine receptor agonists
IN Walker, Daniel P.; Jacobsen, Eric Jon; Piotrowski, David W.; Wishka, Donn G.; Corbett, Jeffrey W.; Groppi, Vincent E., Jr.; Acker, Brad A.; Rauckhorst, Mark R.
PA Pharmacia & Upjohn Company, USA
SO PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

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PI WO 2003037896	A1	20030508	WO 2002-US31579	20021017 <--
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			US 2001-344436P	P 20011026
			US 2001-342674P	P 20011221
			WO 2002-US31579	W 20021017
JP 2005511574	T2	20050428	JP 2003-540177	20021017
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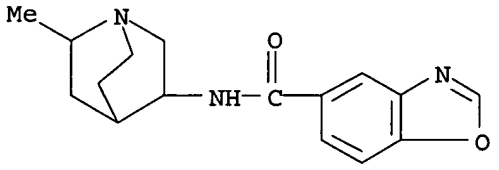
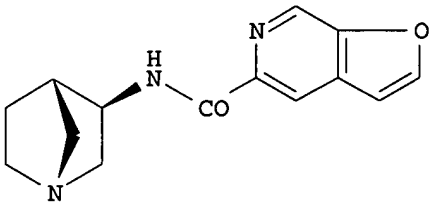
			US 2001-342674P	P 20011221
			WO 2002-US31579	W 20021017

OS MARPAT 138:368781
IT **521278-30-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(azabicyclyl)arylamides for therapeutic use as nicotinic acetylcholine receptor agonists)
RN 521278-30-8 CAPLUS
CN 5-Benzoxazolecarboxamide, N-(6-methyl-1-azabicyclo[2.2.2]oct-3-yl)- (9CI) (CA INDEX NAME)



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN
GI



II

AB N-(azabicyclyl)arylamides, such as RNR1C(:X)W [R = azabicyclyl; R1 = H, alkyl, cycloalkyl, haloalkyl, aryl; R2 = H, benzyl, alkyl, haloalkyl, cycloalkyl, aryl; W = heteroaryl; X = O, S], were prepared for therapeutic use as nicotinic acetylcholine receptor agonists. These amides are useful for the treatment of central nervous system disorders, such as cognitive and attention deficit symptoms of Alzheimer's, neurodegeneration associated with diseases such as Alzheimer's disease, pre-senile dementia (mild cognitive impairment), senile dementia, schizophrenia, psychosis, attention deficit disorder, attention deficit hyperactivity disorder, mood and affective disorders, amyotrophic lateral sclerosis, borderline personality disorder, traumatic brain injury, behavioral and cognitive problems associated with brain tumors, AIDS dementia complex, dementia associated with Down's syndrome, dementia associated with Lewy Bodies, Huntington's disease, depression, general anxiety disorder, age-related macular degeneration, Parkinson's disease, tardive dyskinesia, Pick's disease, post traumatic stress disorder, dysregulation of food intake including bulimia and anorexia nervosa, withdrawal symptoms associated with smoking cessation and dependent drug cessation, Gilles de la Tourette's Syndrome, glaucoma, neurodegeneration associated with glaucoma, or symptoms associated with pain. Thus, the fumarate salt of amide II was prepared via a multistep synthetic sequence which included intramol. cyclization of trans-3-(tert-butoxycarbonylamino)-4-(2-hydroxyethyl)-1-phenylmethylpyrrolidine to form exo-3-(tert-butoxycarbonylamino)-1-azabicyclo[2.2.1]heptane, which contains the target azabicyclic ring, and subsequent amidation of the the corresponding azabicyclic amine with furo[2,3-c]pyridine-5-carboxylic acid. The prepared amides were assayed for human α7-5HT3 receptor binding activity.
AN 2003:282570 CAPLUS
DN 138:304175
TI Preparation of N-(azabicyclyl)arylamides for therapeutic use as nicotinic acetylcholine receptor agonists
IN Walker, Daniel Patrick; Piotrowski, David W.; Jacobsen, Eric Jon; Acker, Brad A.; Wishka, Donn G.; Reitz, Steven Charles; Groppi, Vincent E., Jr.
PA Pharmacia & Upjohn Company, USA

SO PCT Int. Appl., 200 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

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OS MARPAT 138:304175

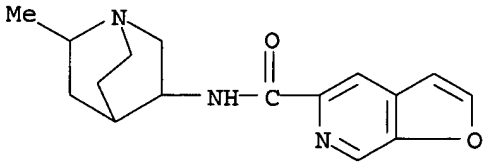
IT **508208-04-6P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-(azabicyclyl)arylamides for therapeutic use as nicotinic acetylcholine receptor agonists)

RN 508208-04-6 CAPLUS

CN Furo[2,3-c]pyridine-5-carboxamide, N-(6-methyl-1-azabicyclo[2.2.2]oct-3-yl)- (9CI) (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN

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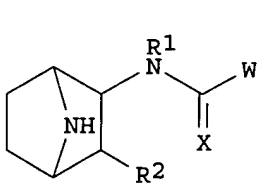

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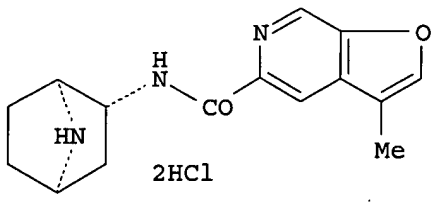

2HCl II

AB 7-Aza[2.2.1]bicycloheptane derivs., such as amides I [R1 = H, alkyl, cycloalkyl, haloalkyl, aryl; R2 = H, benzyl, alkyl, haloalkyl, cycloalkyl, aryl; W = heteroaryl; X = O, S], were prepared for therapeutic use as nicotinic acetylcholine receptor agonists. These amides are useful for the treatment of central nervous system disorders, such as cognitive and attention deficit symptoms of Alzheimer's, neurodegeneration associated with diseases such as Alzheimer's disease, pre-senile dementia (mild cognitive impairment), senile dementia, schizophrenia, psychosis, attention deficit disorder, attention deficit hyperactivity disorder, mood and affective disorders, amyotrophic lateral sclerosis, borderline personality disorder, traumatic brain injury, behavioral and cognitive problems associated with brain tumors, AIDS dementia complex, dementia associated with Down's syndrome, dementia associated with Lewy Bodies, Huntington's disease, depression, general anxiety disorder, age-related macular degeneration, Parkinson's disease, tardive dyskinesia, Pick's disease, post traumatic stress disorder, dysregulation of food intake including bulimia and anorexia nervosa, withdrawal symptoms associated with smoking cessation and dependent drug cessation, Gilles de la Tourette's Syndrome, glaucoma, neurodegeneration associated with glaucoma, or symptoms associated with pain. Thus, amide dihydrochloride II was prepared via a multistep synthetic sequence which included cycloaddn. of N-tert-butoxycarbonylpyrrole with BrC.tplbond.CCO2Me to form the azabicyclic ring, and subsequent amidation reaction of tert-Bu (1S,2R,4R)-2-amino-7-azabicyclo[2.2.1]heptane-7-carboxylate with 3-methylfuro[2,3-c]pyridine-5-carboxylic acid. The prepared amides were assayed for human α7-5HT3 receptor binding activity.

AN 2003:221697 CAPLUS

DN 138:238006

TI Preparation of N-[7-aza[2.2.1]bicycloheptanyl]arylamides for therapeutic use as nicotinic acetylcholine receptor agonists

IN Wishka, Donn G.; Walker, Daniel Patrick; Corbett, Jeffrey W.; Reitz, Steven Charles; Rauckhorst, Mark R.; Groppi, Vincent E., Jr.

PA Pharmacia & Upjohn Company, USA

SO PCT Int. Appl., 224 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003022856	A1	20030320	WO 2002-US25959	20020904 <--
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				US 2001-322333P	P 20010912

				US 2001-322346P	P	20010912
				US 2002-399530P	P	20020730
				WO 2002-US25959	W	20020904
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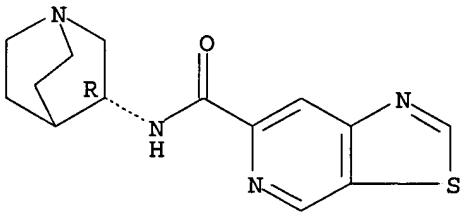
OS MARPAT 138:238006

IT **478170-26-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-[7-aza[2.2.1]bicycloheptanyl]arylamides for therapeutic use as nicotinic acetylcholine receptor agonists)

RN 478170-26-2 CAPLUS

CN Thiazolo[5,4-c]pyridine-6-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN

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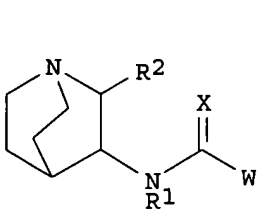
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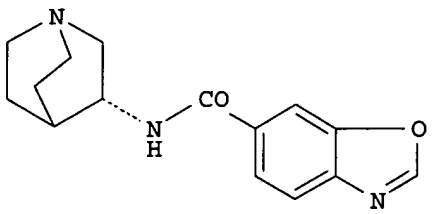
II

AB N-quinuclidinyl-heteroaryls, such as amides I [R1 = H, alkyl, cycloalkyl, haloalkyl, aryl; R2 = H, benzyl, alkyl, haloalkyl, cycloalkyl, aryl; W = aryl, heteroaryl; X = O, S], were prepared for therapeutic use in the treatment of central nervous system disorders, such as cognitive and attention deficit symptoms of Alzheimer's, neurodegeneration associated with diseases such as Alzheimer's disease, pre-senile dementia (mild cognitive impairment), senile dementia, schizophrenia, psychosis, attention deficit disorder, attention deficit hyperactivity disorder, mood and affective disorders, amyotrophic lateral sclerosis, borderline personality disorder, traumatic brain injury, behavioral and cognitive problems associated with brain tumors, AIDS dementia complex, dementia associated with Down's syndrome, dementia associated with Lewy Bodies, Huntington's disease, depression, general anxiety disorder, age-related macular degeneration, Parkinson's disease, tardive dyskinesia, Pick's disease, post traumatic stress disorder, dysregulation of food intake including bulimia and anorexia nervosa, withdrawal symptoms associated with smoking cessation and dependent drug cessation, Gilles de la Tourette's Syndrome, glaucoma, neurodegeneration associated with glaucoma, or symptoms associated with pain. Thus, the fumarate salt of (3R)-N-quinuclidinyl amide II was prepared via the formation of 6-benzoxazolecarboxylic acid in 89% yield by cyclization of 4-amino-3-hydroxybenzoic acid and (MeO)3C at 100° for 2 h followed by amide formation of the acid with (R)-(+)-3-aminoquinuclidine dihydrochloride using DIEA in a 5:1 mixture of THF/DMF and subsequent fumarate salt formation. The prepared quinuclidine derivs. were assayed for nicotinic acetylcholinergic receptor binding activity using brain cell membrane prepared from male Sprague-Dawley rats.

AN 2002:964354 CAPLUS

DN 138:24866

TI Preparation and formulation of N-quinuclidinyl-heteroaryls as nicotinic acetylcholinergic receptor modulators for the treatment of a variety of central nervous system disorders

IN Walker, Daniel P.; Wishka, Donn G.; Corbett, Jeffrey W.; Rauckhorst, Mark R.; Piotrowski, David W.; Groppi, Vincent E., Jr.

PA Pharmacia & Upjohn Company, USA

SO PCT Int. Appl., 101 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002100858	A2	20021219	WO 2002-US16570	20020606 <--
	WO 2002100858	A3	20030220		
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EP 1404674		A2	20040407	EP 2002-778934		20020606
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				US 2002-373496P	P	20020418

US 2002-163565 A3 20020606

OS MARPAT 138:24866

IT **478169-36-7P**

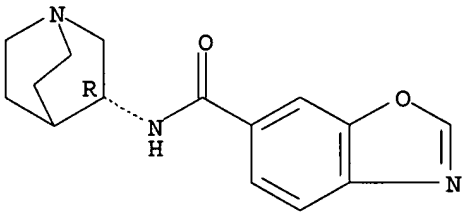
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and formulation of N-quinuclidinyl-heteroaryls as nicotinic acetylcholinergic receptor modulators for treatment of a variety of central nervous system disorders)

RN 478169-36-7 CAPLUS

CN 6-Benzoxazolecarboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN

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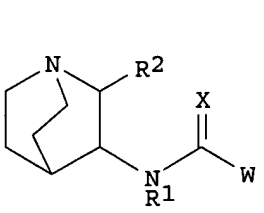

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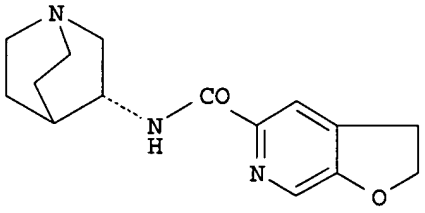

II

AB N-quinuclidinyl-heteroaryls, such as amides I [R1 = H, alkyl, cycloalkyl, haloalkyl, aryl; R2 = H, benzyl, alkyl, haloalkyl, cycloalkyl, aryl; W = heteroaryl; X = O, S], were prepared for therapeutic use in the treatment of central nervous system disorders, such as cognitive and attention deficit symptoms of Alzheimer's, neurodegeneration associated with diseases such as Alzheimer's disease, pre-senile dementia (mild cognitive impairment), senile dementia, schizophrenia, psychosis, attention deficit disorder, attention deficit hyperactivity disorder, mood and affective disorders, amyotrophic lateral sclerosis, borderline personality disorder, traumatic brain injury, behavioral and cognitive problems associated with brain tumors, AIDS dementia complex, dementia associated with Down's syndrome, dementia associated with Lewy Bodies, Huntington's disease, depression, general anxiety disorder, age-related macular degeneration, Parkinson's disease, tardive dyskinesia, Pick's disease, post traumatic stress disorder, dysregulation of food intake including bulimia and anorexia nervosa, withdrawal symptoms associated with smoking cessation and dependent drug cessation, Gilles de la Tourette's Syndrome, glaucoma, neurodegeneration associated with glaucoma, or symptoms associated with pain. Thus,

(3R)-N-quinuclidinyl amide II was prepared via a multistep synthetic sequence which started from 2-chloro-3-pyridinol and which included intramol. cyclization of 2-chloro-6-(hydroxymethyl)-4-[(trimethylsilyl)ethynyl]-3-pyridinol to form (7-chlorofuro[2,3-c]pyridin-5-yl)methanol in 27% yield using Et3N in EtOH, elaboration of the alc. to 2,3-dihydrofuro[2,3-c]pyridine-5-carboxylic acid, and, finally, amidation of the acid with (R)-(+)-3-aminoquinuclidine. The prepared quinuclidine derivs. were assayed for nicotinic acetylcholinergic receptor binding activity using brain cell membrane prepared from male Sprague-Dawley rats.

AN 2002:964353 CAPLUS

DN 138:24865

TI Preparation and formulation of N-quinuclidinyl-heteroaryls as nicotinic acetylcholinergic receptor modulators for the treatment of a variety of central nervous system disorders

IN Wishka, Donn G.; Reitz, Steven C.; Piotrowski, David W.; Groppi, Vincent E., Jr.

PA Pharmacia & Upjohn Company, USA

SO PCT Int. Appl., 262 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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PI	WO 2002100857	A1	20021219	WO 2002-US16568	20020606 <--
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EP 1406901	A1	20040414	EP 2002-778932		20020606
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			WO 2002-US16568	W	20020606
ZA 2003008844	A	20040628	ZA 2003-8844		20031113
			US 2001-297710P	P	20010612

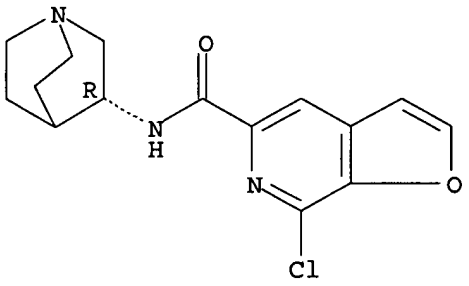
OS MARPAT 138:24865

IT **478148-57-1P**, N-[(3R)-1-Azabicyclo[2.2.2]oct-3-yl]-7-chlorofuro[2,3-c]pyridine-5-carboxamide hydrochloride
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation and formulation of N-quinuclidinyl-heteroaryl amides as nicotinic acetylcholinergic receptor modulators for treatment of a variety of central nervous system disorders)

RN 478148-57-1 CAPLUS

CN Furo[2,3-c]pyridine-5-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-7-chloro-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN
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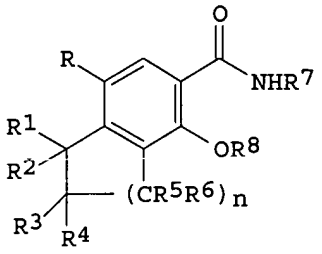

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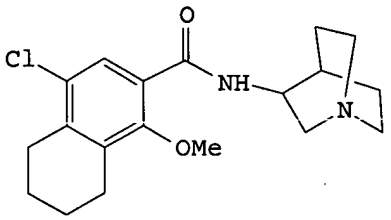


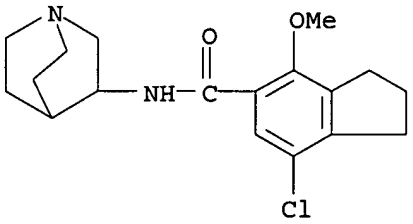
II

AB The title compds. [I; R = H, OH, amino, halo, CF3, alkylsulfonyl, sulfamyl; R1-R6 = H, alkyl; vicinal pairs of R1-R6 - atoms to form 5-7 membered rings, double bonds; R7 = aminoaklyl, 3- or 4-quinuclidinyl, 4-(1-azabicyclo[3.3.1]nonyl), 4- [3-methoxy-1-(3-[4-fluorophenoxy]propyl)piperidinyl], etc.; R 8 = alkyl, alkylcarbonylalkyl, etc. ; n = 1-3], were prepared as CNS gastric prokinetic, and antiemetic agents (no data). Thus, 5-methoxytetralone was refluxed with SnCl2 in EtOH/conc HCl for 16 h to give 5-methoxytetralin. The latter in DMF was stirred with N-chlorosuccinimide at 0° for 4 h to give 8-chloro-5-methoxytetralin; this was brominated similarly with N-bromosuccinimide to give 6-bromo-8-chloro-5-methoxytetralin. This in THF at -78° was treated with BuLi and then CO2 to give 8-chloro-5-methoxytetralin-6-carboxylic acid. The acid in CHCl3/Et3N at -23° was treated with EtO2CCl and then aminoquinuclidine dihydrochloride/aqueous K2CO3 to give quinuclidinylcarbamoyltetralin II. I are said to lack dopamine D2 activity.
AN 1990:591177 CAPLUS
DN 113:191177
TI Azabicyclylcarbamoylarenes as 5-HT3 antagonists useful as antiemetics
IN Pelletier, Jeffrey C.; Youssefyeh, Raymond D.; Campbell, Henry F.
PA Rorer Pharmaceutical Corp., USA
SO U.S., 9 pp.

CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 4920227	A	19900424	US 1988-277611	19881129 <--
			US 1988-277611	19881129

OS MARPAT 113:191177
IT **129764-46-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as serotonin 5-HT3 antagonist)
RN 129764-46-1 CAPLUS
CN 1H-Indene-5-carboxamide, N-1-azabicyclo[2.2.2]oct-3-yl-7-chloro-2,3-dihydro-4-methoxy- (9CI) (CA INDEX NAME)



L5 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN
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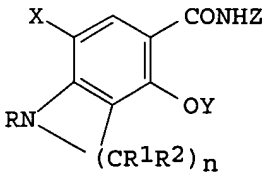

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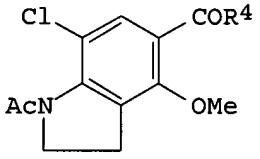

II

AB The title compds. [I; X = H, OH, amino, alkylamino, halo, CF3, alkylsulfamyl, alkylsulfonyl, etc.; R = H, alkyl; R1, R2 = H, alkyl, vicinal R2 groups together may be (CH2)a; a = 1-4; n = 2-4 V = alkyl, (CR1R2)b-SO-R3, (CR1R2)bCOR3; R3 = alkyl; Z = (CR1R2)d-NR1R2, 3- or 4-quinuclidinyl, etc.; b, d = 1-3] and their pharmaceutically acceptable salts, which are 5-HT3 antagonists and have gastric prokinetic and antiemetic activities and lack D2 receptor binding activity, were prepared 3-Aminoquinuclidine and a K2CO3 solution were added to a mixture of ClCO2Et and N-acetyl-2,3-dihydroindole II (R4 = NH2) in CHCl3-Et3N at -20° and the resulting mixture was stirred for 2 h to give II (R4 = 3-quinuclidinylamino). At 2.0 mg/kg i.v. I showed antiemetic activity in rats treated with cisplatin.
AN 1990:591155 CAPLUS
DN 113:191155
TI Preparation of indole, quinoline, and benzazepine analogs as 5-HT3 antagonists
IN Pelletier, Jeffrey C.; Youssefyeh, Raymond D.; Campbell, Henry F.
PA Rorer Pharmaceutical Corp., USA

SO U.S., 15 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
PI	US 4920219	A	19900424	US 1988-277582		19881129	<--
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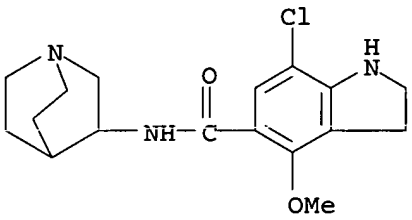
	AU 9047439	A1	19900626	AU 1990-47439		19891129	<--
				US 1988-277582	A	19881129	
				WO 1989-US5422	A	19891129	
	US 5063230	A	19911105	US 1990-489646		19900406	<--
				US 1988-277582	A3	19881129	

OS CASREACT 113:191155; MARPAT 113:191155
IT **129511-02-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as 5-HT3 antagonist)
RN 129511-02-0 CAPLUS
CN 1H-Indole-5-carboxamide, N-1-azabicyclo[2.2.2]oct-3-yl-7-chloro-2,3-dihydro-4-methoxy- (9CI) (CA INDEX NAME)



L5 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN
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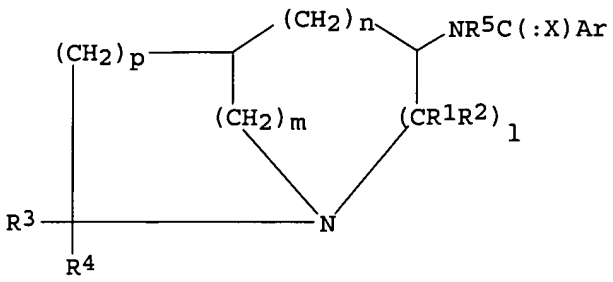

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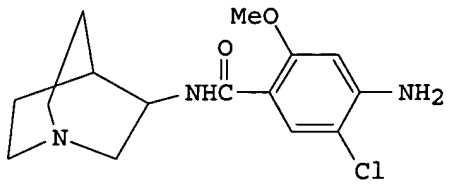

II

AB The title compds. [I; l, m, n, p = 0-3; R1-R4 = H, lower alkyl, Ph; R5 = H, lower alkyl; X = O, S; Ar = (un)substituted Ph, pyridyl, furyl, thienyl, 6-methoxy-1H-benzotriazol-5-yl, 6-methoxy-indol-5-yl, 2-(un)substituted amino-4-methoxylpyrimidin-5-yl, 3,4-methylenedioxyphenyl, (un)substituted naphthalenyl, indolyl] useful for the enhancement of memory or the correction of memory deficiency (no data), are prepared Thus, THF was added to a mixture of 4-amino-5-chloro-2-methoxybenzoic acid and 1,1'-carbonyldimidazole with stirring. When evolution of CO2 ceased, N was bubbled 1 h through the reaction mixture A solution of 3-aminoquinuclidine in THF was added to the stirred mixture and stirring at room temperature continued 3 h to give 67% 3-benzamidoquinuclidine derivative (II). A total 46 3-[(hetero)arylamido]quinuclidine including their salts were prepared

AN 1990:55619 CAPLUS

DN 112:55619

TI Arylamido- and arylthioamidoazabicycloalkanes for enhancing memory or correcting memory deficiency

IN Smith, William Levi

PA A. H. Robins Co., Inc., USA

SO Eur. Pat. Appl., 27 pp.
CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

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	US 4863919	A	19890905	US 1988-150981	19880201 <--
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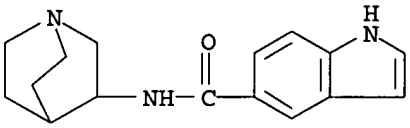
OS CASREACT 112:55619; MARPAT 112:55619

IT **106517-99-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for enhancement of memory)

RN 106517-99-1 CAPLUS

CN 1H-Indole-5-carboxamide, N-1-azabicyclo[2.2.2]oct-3-yl- (9CI) (CA INDEX NAME)



L5 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN

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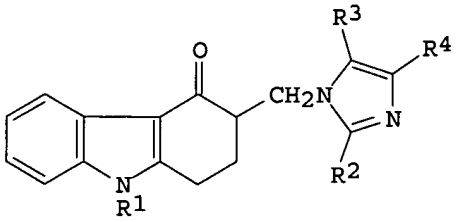

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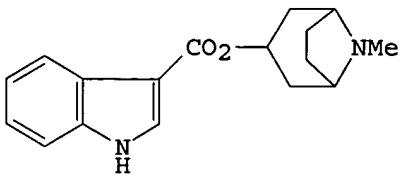

II

AB Carboxylate and sulfonate esters, carboxamides, and sulfonamides of a variety of N-containing heterocyclic alcs. and amines with a variety of mono- and bicyclic carbocyclic and heterocyclic acids and imidazolylmethyltetrahydrocarbazolones I (R1 = H, C1-10 alkyl, C3-9 cycloalkyl, C3-6 alkenyl, Ph, phenylalkyl; R2-R4 = H, C1-6 alkyl, C3-7 cycloalkyl, C2-4 alkenyl, phenylalkyl) were prepared (.apprx.80 compds.) for treatment of psychotic disorders, rhinitis, and pulmonary embolism and to

improve the nasal resorption of other drugs such as peptides. endo-8-Methyl-8-azabicyclo[3.2.1]oct-3-yl indole-3-carboxylate (II) at 0.01-100 μg/kg i.p. reversed the stress-induced inhibition of social behavior in mice, and at 1-10 mg/kg orally inhibited the stress-induced elevation of plasma corticosterone in mice in a manner similar to diazepam. II reached a level of 200 ng/mL in the plasma 5-10 mins. after nasal administration, compared to 30-40 mins. after oral administration of the same dose. A nasal spray for treatment of rhinitis or pulmonary embolism contained II-HCl 100 mg, benzalkonium chloride 0.1 mg, 0.9% aqueous NaCl 0.6 mL, and distilled water 0.4 mL. Pseudotropine was chlorinated to 3-chloro-8-methyl-8-azabicyclo[3.2.1]octane, which was converted successively to the 3-cyano, 3-methoxycarbonyl, 3-carboxy, and 3-chlorocarbonyl derivs. followed by reaction with MeMgI and indole to produce 3β-(indole-3-carbonyl)-8-methyl-8-azabicyclo[3.2.1]octane.

AN 1989:8041 CAPLUS
DN 110:8041
TI Preparation and use of carbocyclic and heterocyclic esters and amides and imidazolylcarbazoles for treatment of psychosis, rhinitis, and pulmonary embolism and for facilitation of the nasal resorption of drugs
IN Azria, Moise; Buchheit, Karl Heinz; Dixon, Keith Arnold; Engel, Guenther; Giger, Rudolf Karl Andreas
PA Sandoz-Patent-G.m.b.H., Fed. Rep. Ger.
SO Ger. Offen., 27 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
PI	DE 3724059	A1	19880218	DE 1987-3724059		19870721	<--
				GB 1986-18614	A	19860730	
				DE 1986-3626703	A1	19860807	
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	HU 45895	A2	19880928	HU 1987-3252		19870716	<--
	HU 202108	B	19910228				
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	NO 8703133	A	19880201	NO 1987-3133		19870727	<--
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	GB 2193633	A1	19880217	GB 1987-17768		19870727	<--
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	DK 8703924	A	19880131	DK 1987-3924		19870728	<--
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SE 504184	C2	19961202				
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AU 642210	B2	19931014				
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AU 637878	B2	19930610				
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US 5561149	A	19961001	US 1995-403620		19950314	<--
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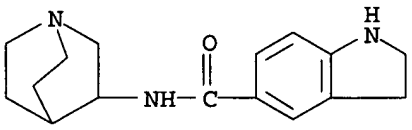
			US 1987-78336	B1	19870727	
			US 1989-423916	B1	19891019	
			US 1991-701934	B1	19910517	
			US 1992-890493	B1	19920528	
			US 1993-3926	B1	19930113	
			US 1993-111805	B1	19930825	

OS MARPAT 110:8041
IT **117843-77-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for lung embolism and mental disorder and rhinitis treatment)
RN 117843-77-3 CAPLUS
CN 1H-Indole-5-carboxamide, N-1-azabicyclo[2.2.2]oct-3-yl-2,3-dihydro- (9CI) (CA INDEX NAME)



L5 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2006 ACS on STN
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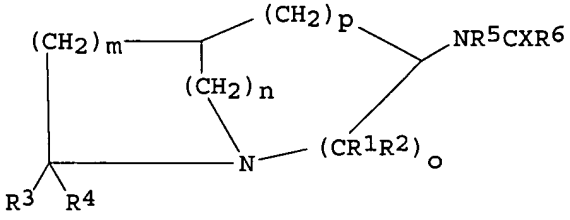

I

AB Title compds. I [R1-R4 = H, alkyl, Ph; R5 = H, alkyl; X = O, S; R6 = (un)substituted Ph, naphthyl; m, o, p = 0-3] and their salts, useful for memory enhancement, were prepared Thus, 4-amino-5-chloro-2-methoxybenzoic acid in THF was reacted with 1,1'-carbonyldiimidazole and then with 3-aminoquinuclidine to give the free base, which was converted to 4-amino-N-(1-azabicyclo[2.2.2]oct-3-yl)-5-chloro-2-methoxybenzamide-HCl (II). In tests in mice II significantly increased the time required to complete a drinking task, indicating a measure of improved memory.
AN 1987:67141 CAPLUS
DN 106:67141

TI Enhancing memory or correcting memory deficiency with arylamido- and arylthioamidoazabicycloalkanes
IN Welstead, William J., Jr.
PA A. H. Robins Co., Inc., USA
SO U.S., 12 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
PI	US 4605652	A	19860812	US 1985-697944		19850204	<--
	AU 8652672	A1	19860807	AU 1986-52672		19860123	<--
	AU 589155	B2	19891005				
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	CA 1273297	A1	19900828	CA 1986-500990		19860203	<--
				US 1985-697944	A	19850204	
	EP 190920	A2	19860813	EP 1986-300747		19860204	<--
	EP 190920	A3	19900418				
	EP 190920	B1	19930505				
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE						
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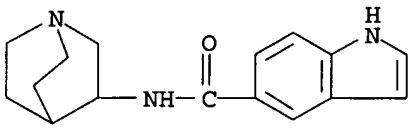
				US 1985-697944	A	19850204	
				EP 1986-300747	A	19860204	

OS MARPAT 106:67141
IT **106517-99-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for memory improvement)
RN 106517-99-1 CAPLUS
CN 1H-Indole-5-carboxamide, N-1-azabicyclo[2.2.2]oct-3-yl- (9CI) (CA INDEX NAME)



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L6 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN
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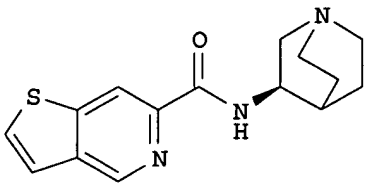


II

AB The invention discloses compds. that are selective α7 nAChR agonists and 5-HT3 antagonists (no data), specifically, compds. Azb-NH-CO-W0 [I; Azb = selected azabicycloalkyl, notably 1-azabicyclo[2.2.2]oct-3-yl, 1-azabicyclo[2.2.1]hept-3-yl, exo-7-azabicyclo[2.2.1]hept-2-yl, and 1-azabicyclo[3.2.1]oct-3-yl, all with optional alkyl or substituted alkyl substituents or N-protecting groups; W0 = selected 6/5-bicyclic heteroaryls, notably thieno[3,2-c]pyridine, 1-benzofuran, pyrrolo[2,3-c]pyridine, furo[2,3-c]pyridine, thieno[2,3-c]pyridine, and pyrrolo[1,2-a]pyrazine]. Compds. I are useful for treating many CNS diseases, including schizophrenia, psychosis, Alzheimer's and other neurodegenerative diseases, emesis, migraine, anxiety, and substance dependence withdrawal. Approx. 20 synthetic examples, some with data for the products, are given, as well as prepns. of various azabicycloalkylamine and heterobicycloarom. carboxylic acid precursors. For instance, thieno[3,2-c]pyridine-6-carboxylic acid was prepared from glyoxylic acid and 2,3-thiophenedicarboxaldehyde in approx. 6 steps. Amidation of this acid with (R)-3-aminoquinuclidine using bis(2-oxo-3-oxazolidinyl)phosphinic chloride and TEA gave invention compound II, isolated as the di-HCl salt.

AN 2004:390256 CAPLUS

DN 140:406824

TI Compounds having both α7 nicotinic agonist activity and 5-HT3 antagonist activity, for treatment of CNS diseases, and their preparation, pharmaceutical compositions, and use

IN Wong, Erik Ho Fong; Cortes-Burgos, Luz Amparo; Rogers, Bruce Nelsen; Piotrowski, David Walter; Walker, Daniel Patrick; Jacobsen, Eric Jon; Wishka, Donn Gregory; Acker, Brad Alan

PA Pharmacia & Upjohn Company, USA

SO PCT Int. Appl., 77 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004039815	A2	20040513	WO 2003-IB4681	20031020
	WO 2004039815	A3	20040722		
	WO 2004039815	C1	20040923		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,

TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2503786	AA	20040513	CA 2003-2503786		20031020
BR 2003015056	A	20050816	BR 2003-15056		20031020
EP 1562959	A2	20050817	EP 2003-751183		20031020
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
US 2004147522	A1	20040729	US 2003-698227		20031031
PRAI US 2002-423155P	P	20021101			
WO 2003-IB4681	W	20031020			

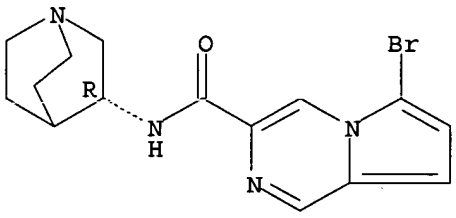
OS MARPAT 140:406824

IT **588720-60-9P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of azabicycloalkyl heterobicycloarenecarboxamides as α7 nicotinic agonists and 5-HT3 antagonists)

RN 588720-60-9 CAPLUS

CN Pyrrolo[1,2-a]pyrazine-3-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-6-bromo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



after priority date

L6 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN
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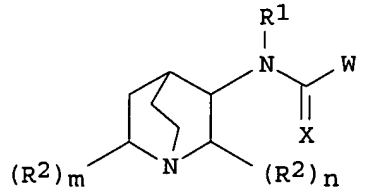

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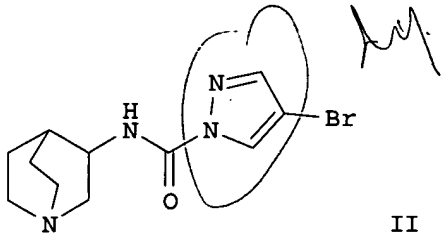

II

AB Title N-(1-azabicyclo[2.2.2]octyl)heteroarylamides I and analogs [wherein X = o, S; R1 = H, (halo)alkyl, cycloalkyl, substituted Ph, naphthyl; R2 = independently halo, cycloalkyl, aryl, (un)substituted alkyl; m = 0-1; n = 0-1; with the proviso that m + n = 1; W = (un)substituted Ph, heterocyclyl, heteroaryl; or pharmaceutically acceptable salts, racemic mixts., or pure enantiomers thereof] were prepared as α7 nicotinic acetylcholine receptor (nAChR) full agonists (no data). For example, reaction of phosgene with 4-bromopyrazole in EtOAc, followed by coupling with (+)-3-aminoquinuclidine•2HCl provided II•HCl (25%). The invention provides for compns. of I with psychostimulants and/or monoamine reuptake inhibitors for the treatment of attention deficit hyperactivity disorder (ADHD).

AN 2004:513575 CAPLUS
DN 141:71755

TI Preparation of N-(quinuclidinyl)heteroarylamides as nicotinic acetylcholine receptor agonists for use in combination therapy for the treatment of ADHD

IN Groppi, Vincent Edward, Jr.; Jacobsen, Eric Jon; Myers, Jason Kenneth; Piotrowski, David Walter; Rogers, Bruce Nelsen; Walker, Daniel Patrick; Wishka, Donn Gregory
PA Pharmacia & Upjohn Company, USA

SO PCT Int. Appl., 141 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004052461	A1	20040624	WO 2003-IB5542	20031128
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2509142	AA	20040624	CA 2003-2509142	20031128
EP 1572300	A1	20050914	EP 2003-775637	20031128
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BR 2003017229	A	20051101	BR 2003-17229	20031128

	US 2005107425	A1	20050519	US 2004-963922	20041012
	NO 2005003185	A	20050817	NO 2005-3185	20050629
PRAI	US 2002-432586P	P	20021211		
	WO 2003-IB5542	W	20031128		
	US 2003-731402	B1	20031209		

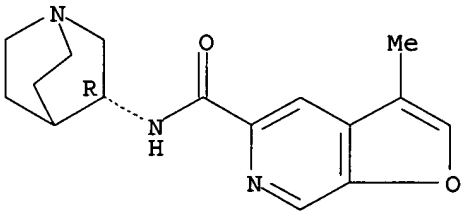
OS MARPAT 141:71755

IT **478148-80-0P**, N-[(3R)-1-Azabicyclo[2.2.2]oct-3-yl]-3-methylfuro[2,3-c]pyridine-5-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(nAChR agonist; preparation of N-(quinuclidinyl)heteroarylamides as nAChR agonists for use in combination therapy for treatment of ADHD)

RN 478148-80-0 CAPLUS

CN Furo[2,3-c]pyridine-5-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to azabicycloalkane derivs. of formula azabicyclo-N(R1)-C(:X)-W [wherein: R1 is H, (cyclo)alkyl, or haloalkyl, etc.; X is O or S; W is a substituted benzene], useful as α7 nAChR agonists. Pharmacokinetics of the prepared compds. were evaluated (no biol. data). Blood-brain barrier penetration was investigated (no biol. data). For instance, chiral azabicycloheptane derivative I was prepared via addition of Me 3-bromopropargylate to N-Boc-pyrrole, reduction of the obtained azabicyclo[2.2.1]heptadiene II, hydrolysis of the obtained azabicycloheptane derivative III (R2 = OMe), reaction of the carboxylic acid III (R2 = OH) with diphenylphosphoryl azide and benzyl alc., resolution of the obtained exo-derivative IV, and hydrogenation.

AN 2004:513522 CAPLUS

DN 141:71300

TI A preparation of azabicycloalkane derivatives, useful as α7 nicotinic acetylcholine receptor (α7 nAChR) agonists

IN Corbett, Jeffrey Wayne; Groppi, Vincent Edward, Jr.

PA Upjohn Company, USA

SO PCT Int. Appl., 151 pp.
CODEN: PIXXD2

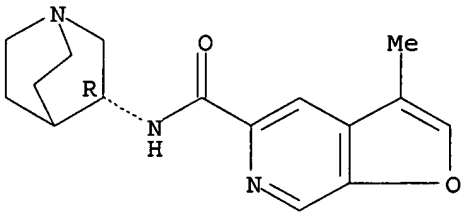
DT Patent

LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004052348	A2	20040624	WO 2003-IB5525	20031128
	WO 2004052348	A3	20041021		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2508004	AA	20040624	CA 2003-2508004	20031128
	EP 1572205	A2	20050914	EP 2003-772599	20031128
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003017110	A	20051025	BR 2003-17110	20031128
	US 2005245504	A1	20051103	US 2003-731565	20031209
PRAI	US 2002-432527P	P	20021211		
	WO 2003-IB5525	W	20031128		

OS MARPAT 141:71300
IT **478148-80-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azabicycloalkane derivs. useful as α7 nAChR agonists)
RN 478148-80-0 CAPLUS
CN Furo[2,3-c]pyridine-5-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN
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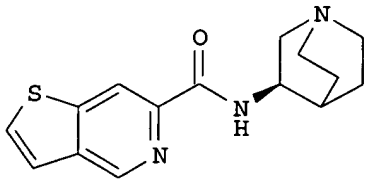


II

AB The invention discloses compds. that are selective α7 nAChR agonists and 5-HT3 antagonists (no data), specifically, compds. Azb-NH-CO-W0 [I; Azb = selected azabicycloalkyl, notably 1-azabicyclo[2.2.2]oct-3-yl, 1-azabicyclo[2.2.1]hept-3-yl, exo-7-azabicyclo[2.2.1]hept-2-yl, and 1-azabicyclo[3.2.1]oct-3-yl, all with optional alkyl or substituted alkyl substituents or N-protecting groups; W0 = selected 6/5-bicyclic heteroaryls, notably thieno[3,2-c]pyridine, 1-benzofuran, pyrrolo[2,3-c]pyridine, furo[2,3-c]pyridine, thieno[2,3-c]pyridine, and pyrrolo[1,2-a]pyrazine]. Compds. I are useful for treating many CNS diseases, including schizophrenia, psychosis, Alzheimer's and other neurodegenerative diseases, emesis, migraine, anxiety, and substance dependence withdrawal. Approx. 20 synthetic examples, some with data for the products, are given, as well as prepns. of various azabicycloalkylamine and heterobicycloarom. carboxylic acid precursors. For instance, thieno[3,2-c]pyridine-6-carboxylic acid was prepared from glyoxylic acid and 2,3-thiophenedicarboxaldehyde in approx. 6 steps. Amidation of this acid with (R)-3-aminoquinuclidine using bis(2-oxo-3-oxazolidinyl)phosphinic chloride and TEA gave invention compound II, isolated as the di-HCl salt.

AN 2004:390256 CAPLUS

DN 140:406824

TI Compounds having both α7 nicotinic agonist activity and 5-HT3 antagonist activity, for treatment of CNS diseases, and their preparation, pharmaceutical compositions, and use

IN Wong, Erik Ho Fong; Cortes-Burgos, Luz Amparo; Rogers, Bruce Nelsen; Piotrowski, David Walter; Walker, Daniel Patrick; Jacobsen, Eric Jon; Wishka, Donn Gregory; Acker, Brad Alan

PA Pharmacia & Upjohn Company, USA

SO PCT Int. Appl., 77 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004039815	A2	20040513	WO 2003-IB4681	20031020
	WO 2004039815	A3	20040722		
	WO 2004039815	C1	20040923		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2503786	AA	20040513	CA 2003-2503786	20031020
	BR 2003015056	A	20050816	BR 2003-15056	20031020
	EP 1562959	A2	20050817	EP 2003-751183	20031020
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	US 2004147522	A1	20040729	US 2003-698227	~~20~~31031
PRAI	US 2002-423155P	P	20021101	application	
	WO 2003-IB4681	W	20031020		

OS MARPAT 140:406824

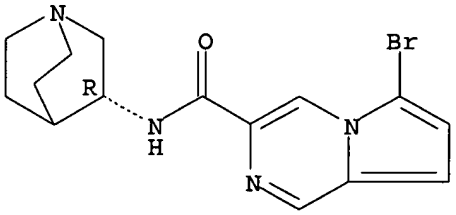
IT **588720-60-9P**

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of azabicycloalkyl heterobicycloarenecarboxamides as α7 nicotinic agonists and 5-HT3 antagonists)

RN 588720-60-9 CAPLUS

CN Pyrrolo[1,2-a]pyrazine-3-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-6-bromo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN

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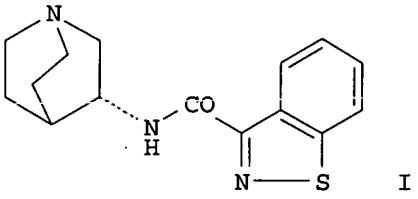


I

AB Quinuclidine derivs., such as RNHC(:X)W, RC(:X)NHW, RNHCH2W and RCH2NHW [R = quinuclidinyl; W = indazolyl, benzothiazolyl, benzoisothiazolyl; X = O, S], were prepared for therapeutic use as nicotinic acetylcholine receptor α7 (α7 nAChR) ligands for the treatment of psychotic or neurodegenerative diseases and disorders involving dysfunction of the cholinergic system. These quinuclidines are claimed for use in the treatment of dementia or memory impairment due to mild cognitive impairment due to Alzheimer's disease, schizophrenia, Parkinson's disease, Huntington's disease, Pick's disease, Creutzfeldt-Jakob disease, depression, aging, head trauma, stroke, CNS hypoxia, cerebral senility, or multiinfarct dementia. These quinuclidines are also claimed for use in the treatment of intoxication, damage associated with strokes, ischemia and glutamate-induced excitotoxicity, smoking cessation or nicotine addiction, pain, jet lag, obesity, diabetes, mild cognitive impairment (MCl), vascular dementia (VaD), age-associated cognitive decline (AACD), amnesia associated with open-heart-surgery, cardiac arrest, general anesthesia, memory deficits from exposure to anesthetic agents, sleep deprivation induced cognitive impairment, chronic fatigue syndrome, narcolepsy, AIDS-related dementia, epilepsy-related cognitive impairment, Down's syndrome, alcoholism related dementia, drug/substance induced memory impairments, dementia puglistica (boxer syndrome), or loss of cholinergic synapses. Thus, N-quinuclidinyl-amide I was prepared via an amidation

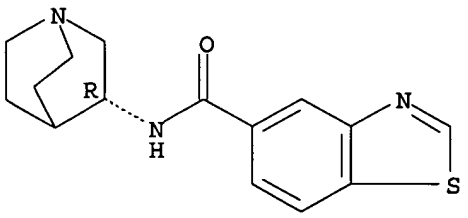
reaction of 1,2-benzisothiazole-3-carboxylic acid with 3-(R)-aminoquinuclidine dihydrochloride in a 5/1 mixture of THF/DMF using diisopropylethylamine and HATU. α7 NAChR activity of the prepared quinuclidines were determined using rat brain tissue in a competition assay with [3H]-MLA.

AN 2004:287845 CAPLUS
DN 140:321562
TI Preparation of quinuclidinyl indazoles, benzothiazoles and benzoisothiazoles for use in pharmaceutical compositions as nicotinic acetylcholine receptor ligands
IN Tehim, Ashok; Herbert, Brian; Nguyen, Truc Minh; Xie, Wenge; Gauss, Carla Maria
PA Memory Pharmaceuticals Corporation, USA
SO PCT Int. Appl., 147 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004029050	A1	20040408	WO 2003-US29976	20030925
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2499128	AA	20040408	CA 2003-2499128	20030925
	US 2004132790	A1	20040708	US 2003-669645	20030925
	EE 200500011	A	20050615	EE 2005-11	20030925
	EP 1543000	A1	20050622	EP 2003-798723	20030925
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003014485	A	20050726	BR 2003-14485	20030925
	JP 2006503851	T2	20060202	JP 2004-540191	20030925
	NO 2005001985	A	20050609	NO 2005-1985	20050422
PRAI	US 2002-413151P	P	20020925		
	US 2003-448469P	P	20030221		
	WO 2003-US29976	W	20030925		

OS MARPAT 140:321562
IT **677306-44-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-quinuclidinyl indazoles, benzothiazoles and benzoisothiazoles for use in pharmaceutical compns. as nicotinic acetylcholine receptor ligands)
RN 677306-44-4 CAPLUS
CN 5-Benzothiazolecarboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN
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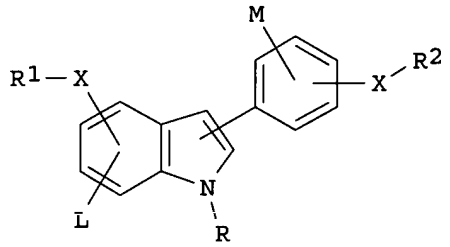

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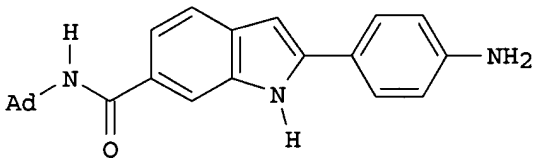

II

AB The present invention is directed to phenylindole based small mol. inhibitors I [L and M independently = H, alkyl, alkoxy, aryl, (un)substituted aryl, hydroxy, halo, amino, akylamino, etc.; R = H, alkyl, benzyl, 4-fluorobenzyl, and dialkylamino alkyl; R1 and R2 independently = H, (un)substituted-alkyl, -cycloalkyl, -polycyclic aliphatic groups, -Ph, etc.; X = NHCO or CONH] of the IgE response to allergens, which are useful in the treatment of allergy and/or asthma or any diseases where IgE is pathogenic. Methods for preparing intermediates for the synthesis of I are given; thus, e.g., II (Ad = 1-adamantyl) was prepared by condensation of 3-bromophenylhydrazine with 4-nitroacetophenone followed by acid catalyzed cyclocondensation to form 2-(4-nitrophenyl)-6-bromo-1H-indole which underwent substitution form the cyano derivative which was converted in three steps to the 2-(4-nitrophenyl)-1H-indole-6-carboxylic acid, then a sequence of amidation, nitro reduction In assays for determining suppression of IgE

response, I produced 50% inhibition at concentration ranges from 1 pM to 100 μM. This invention also relates to phenyl-indole mols. that are cellular proliferation inhibitors and thus are useful as anticancer agents. This invention further relates to small mols. which suppress cytokines and leukocytes.

AN 2004:252623 CAPLUS
DN 140:287264
TI Pharmaceutical compositions of phenyl-indole compounds for modulating IgE and inhibiting cellular proliferation
IN Sircar, Jagadish C.; Ramnauth, Jailall; Richards, Mark L.
PA Avanir Pharmaceuticals, USA
SO PCT Int. Appl., 131 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004024896	A2	20040325	WO 2003-US30959	20030912
	WO 2004024896	A3	20040624		
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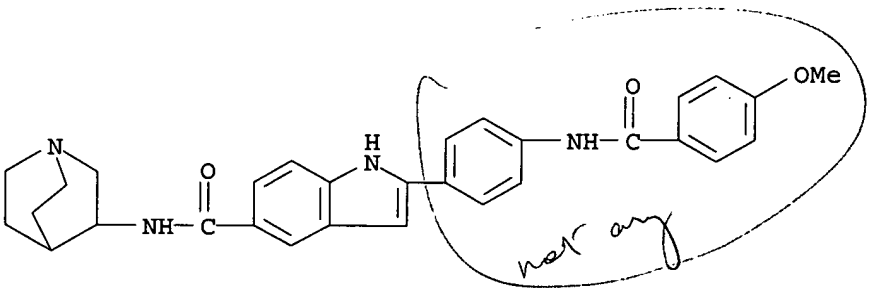
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2498493	AA	20040325	CA 2003-2498493	20030912
	US 2004180946	A1	20040916	US 2003-661139	20030912
	EP 1537079	A2	20050608	EP 2003-795717	20030912
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003014223	A	20050726	BR 2003-14223	20030912
PRAI	US 2002-410777P	P	20020912		
	WO 2003-US30959	W	20030912		

OS MARPAT 140:287264
IT **675822-89-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of phenylindoles as modulators of IgE response and antitumor agents)
RN 675822-89-6 CAPLUS
CN 1H-Indole-5-carboxamide, N-1-azabicyclo[2.2.2]oct-3-yl-2-[4-[(4-methoxybenzoyl)amino]phenyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN
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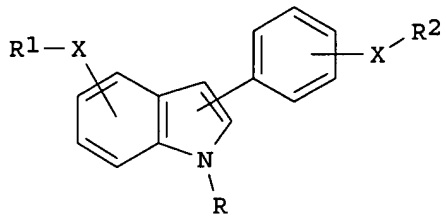

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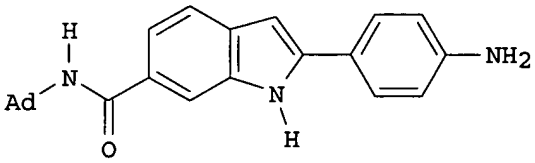


II

AB The present invention is directed to phenylindole based small mol. inhibitors I [L and M independently = H, alkyl, alkoxy, aryl, (un)substituted aryl, hydroxy, halo, amino, akylamino, etc.; R = H, alkyl, benzyl, 4-fluorobenzyl, and dialkylamino alkyl; R1 and R2 independently = H, (un)substituted-alkyl, -cycloalkyl, -polycyclic aliphatic groups, -Ph, etc.; X = NHCO or CONH] of the IgE response to allergens, which are useful in the treatment of allergy and/or asthma or any diseases where IgE is pathogenic. Methods for preparing intermediates for the synthesis of I are given; thus, e.g., II (Ad = 1-adamantyl) was prepared by condensation of 3-bromophenylhydrazine with 4-nitroacetophenone followed by acid catalyzed cyclocondensation to form 2-(4-nitrophenyl)-6-bromo-1H-indole which underwent substitution form the cyano derivative which was converted in three steps to the 2-(4-nitrophenyl)-1H-indole-6-carboxylic acid, then a sequence of amidation, nitro reduction In assays for determining suppression of IgE response, I produced 50% inhibition at concentration ranges from 1 pM to 100 μM. This invention also relates to phenyl-indole mols. that are cellular proliferation inhibitors and thus are useful as anticancer agents. This invention further relates to small mols. which suppress cytokines and leukocytes.

AN 2004:252465 CAPLUS
DN 140:287262
TI Pharmaceutical compositions of phenyl-indole compounds for modulating IgE and inhibiting cellular proliferation
IN Sircar, Jagadish C.; Ramnauth, Jailall; Richards, Mark L.
PA Avanir Pharmaceuticals, USA
SO PCT Int. Appl., 112 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	WO 2004024655	A2	20040325	WO 2003-US28145	20030909
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, EG, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004180946	A1	20040916	US 2003-661139	20030912
PRAI	US 2002-410777P	P	20020912		

OS MARPAT 140:287262

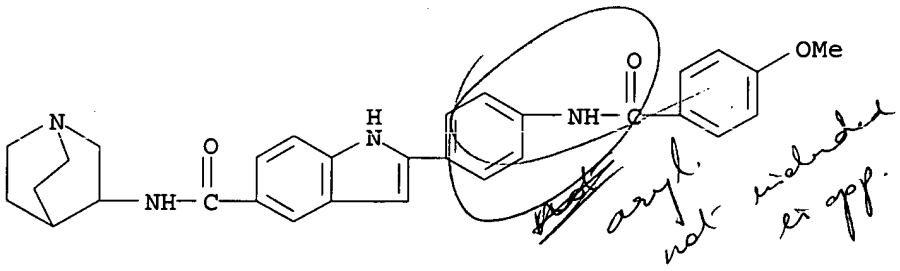
IT **675822-89-6P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of phenylindoles as modulators of IgE response and antitumor agents)

RN 675822-89-6 CAPLUS

CN 1H-Indole-5-carboxamide, N-1-azabicyclo[2.2.2]oct-3-yl-2-[4-[(4-methoxybenzoyl)amino]phenyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN

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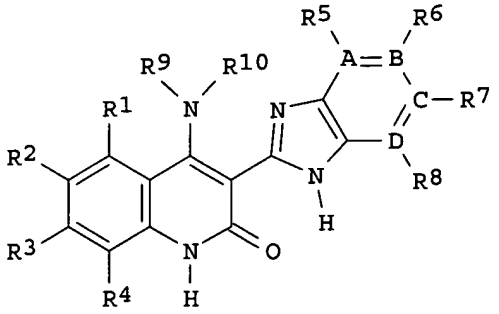

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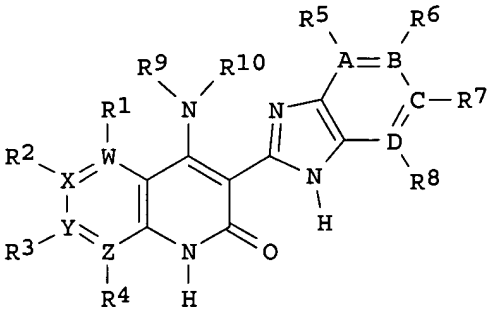

II

AB The title compds. [I and II; A, B, C, and D = C, N; W, X, Y and Z = C, N and at least one of W, X, Y, and Z = N; R1-R8 = H, halo, CN, NO2, etc.; R9 = H, (un)substituted alkyl, aryl, etc.; R10 = H; or NR9R10 = 5-7 membered ring], useful for inhibiting various enzymes and treating various conditions, were prepared E.g., a multi-step synthesis of 4-amino-3-(benzimidazol-2-yl)-6-(4-methylpiperazinyl)hydroquinolin-2-one, was given. The majority of the exemplary compds. I displayed an IC50 of less than 10 μM with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, Cdk4, MEK1, NEK-2, CHK2, CK1ε, Raf, Fyn, Lck, Rsk2, PAR-1, c-Kit, c-ABL, p60src, FGFR3, FLT-3, PDGFRα, and PDGFRβ. In addition, many of the exemplary compds. exhibited IC50 values in the nM range and show potent activity with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, FGFR3, c-Kit, c-ABL, FLT-3, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, MEK1, CHK2, Fyn, Lck, Rsk2, PAR-1, PDGFRα, and PDGFRβ with IC50 values of less than 1 μM.

AN 2004:182836 CAPLUS

DN 140:235711

TI Preparation of benzimidazole quinolinones for inhibiting a serine/threonine kinase

IN Barsanti, Paul A.; Bussiere, Dirksen; Harrison, Stephen D.; Heise, Carla C.; Jansen, Johanna M.; Jazan, Elisa; Machajewski, Timothy D.; Mcbride, Christopher; McCrea, William R.; Ng, Simon; Ni, Zhi-Jie; Pecchi, Sabina; Pfister, Keith; Ramurthy, Savithri; Renhowe, Paul A.; Shafer, Cynthia M.; Silver, Joel B.; Wagman, Allan; Weismann, Marion

PA Chiron Corporation, USA

SO PCT Int. Appl., 570 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 7

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	WO 2004018419	A2	20040304	WO 2003-US25990	20030819
	WO 2004018419	A3	20040603		
	WO 2004018419	B1	20040729		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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	CA 2496164	AA	20040304	CA 2003-2496164	20030819
	EP 1539754	A2	20050615	EP 2003-781286	20030819
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003013743	A	20050705	BR 2003-13743	20030819
	JP 2006503919	T2	20060202	JP 2005-501762	20030819
PRAI	US 2002-405729P	P	20020823		
	US 2002-426107P	P	20021113		
	US 2002-426226P	P	20021113		
	US 2002-426282P	P	20021113		
	US 2002-428210P	P	20021121		
	US 2003-460327P	P	20030403		
	US 2003-460328P	P	20030403		
	US 2003-460493P	P	20030403		
	US 2003-478916P	P	20030616		
	US 2003-484048P	P	20030701		
	WO 2003-US25990	W	20030819		

OS MARPAT 140:235711

IT **668429-33-2P**

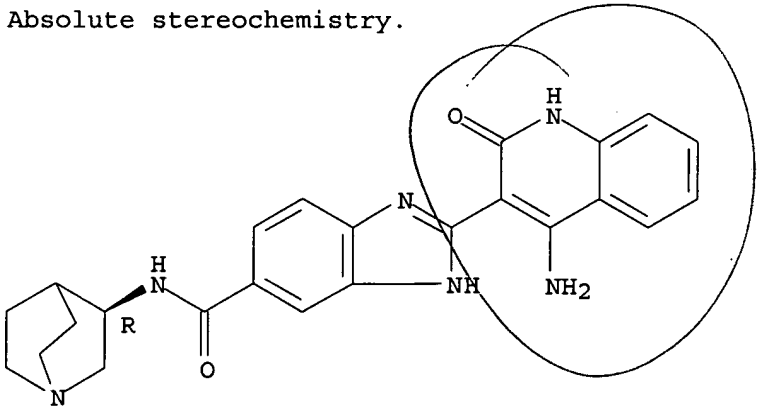
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazole quinolinones for inhibiting a serine/threonine kinase)

RN 668429-33-2 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, 2-(4-amino-1,2-dihydro-2-oxo-3-quinolinyl)-N-(3R)-1-azabicyclo[2.2.2]oct-3-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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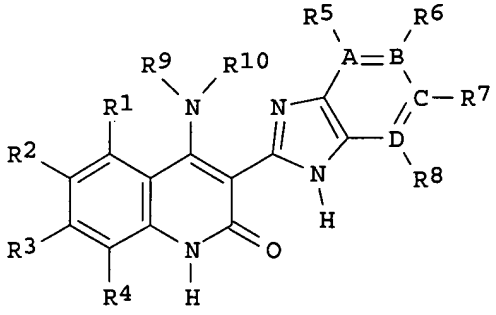
L6 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN
GI



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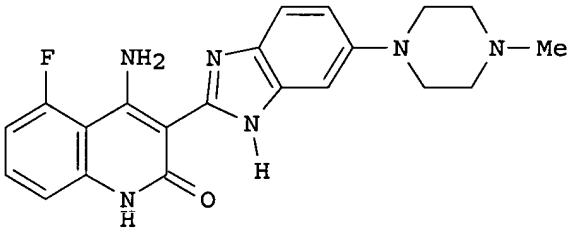


II

AB The title compds. I [A, B, C, and D = C, N; R1-R3 = H, halo, CN, NO2, etc.; R4 = H, alkyl; R5-R8 = H, halo, CN, NO2, etc.; R9 = H, (un)substituted alkyl, aryl, etc.; R10 = H], useful for inhibiting fibroblast growth factor receptor 3 or treating a biol. condition mediated by fibroblast growth factor receptor 3, were prepared E.g., a multi-step synthesis of 4-amino-5-fluoro-3-[6-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]-1H-quinolin-2-one (II), starting from 5-chloro-2-nitroaniline and 1-methylpiperazine, was given. The majority of the exemplary compds. I displayed an IC50 of less than 10 μM with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, Cdk4, MEK1, NEK-2, CHK2, CK1ε, Raf, Fyn, Lck, Rsk2, PAR-1, c-Kit, c-ABL, p60src, FGFR3, FLT-3, PDGFRα, and PDGFRβ. In addition, many of the exemplary compds. exhibited IC50 values in the nM range and show potent activity with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, FGFR3, c-Kit, c-ABL, FLT-3, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, MEK1, CHK2, Fyn, Lck, Rsk2, PAR-1, PDGFRα, and PDGFRβ with IC50 values of less than 1 μM. The mentioned above compound II was tested in various tests and showed significant antiproliferative activity. II inhibited FGFR3 receptor phosphorylation and ERK phosphorylation in multiple myeloma cell lines with activating FGFR3 mutations.

AN 2005:1242789 CAPLUS

DN 143:477969

TI Preparation of benzimidazole quinolinones for inhibiting FGFR3 and treating multiple myeloma

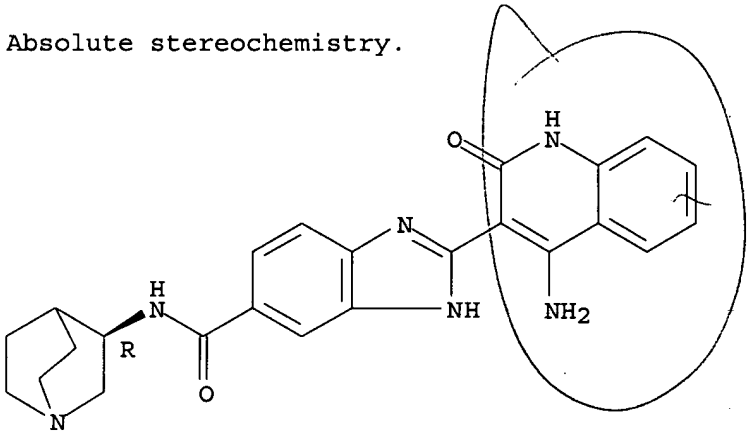
IN Cai, Shaopei; Chou, Joyce; Harwood, Eric; Heise, Carla C.; Machajewski,

Timothy D.; Ryckman, David; Shang, Xiao; Wiesmann, Marion; Zhu, Shuguang
PA Chiron Corporation, USA
SO U.S. Pat. Appl. Publ., 239 pp., Cont.-in-part of U.S. Ser. No. 644,055.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 7

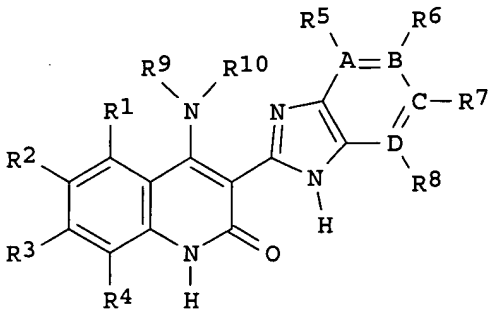
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005261307	A1	20051124	US 2004-983174	20041105
	US 2004092535	A1	20040513	US 2003-644055	20030819
	US 2005203101	A1	20050915	US 2004-839793	20040505
PRAI	US 2002-405729P	P	20020823		
	US 2002-426107P	P	20021113		
	US 2002-426226P	P	20021113		
	US 2002-426282P	P	20021113		
	US 2002-428210P	P	20021121		
	US 2003-460327P	P	20030403		
	US 2003-460328P	P	20030403		
	US 2003-460493P	P	20030403		
	US 2003-478916P	P	20030616		
	US 2003-484048P	P	20030701		
	US 2003-644055	A2	20030819		
	US 2003-517915P	P	20031107		
	US 2003-526425P	P	20031202		
	US 2003-526426P	P	20031202		
	US 2004-546017P	P	20040219		

OS MARPAT 143:477969
IT **668429-33-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzimidazole quinolinones for inhibiting FGFR3 and treating multiple myeloma)
RN 668429-33-2 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-(4-amino-1,2-dihydro-2-oxo-3-quinolinyl)-N-(3R)-1-azabicyclo[2.2.2]oct-3-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN
GI



I

AB The title compds. [I; A, B, C, D = C, N; R1 = H, halo, CN, NO2, etc.; R2, R3 = H, halo, NO2, CN, etc.; R4 = H, (un)substituted alkyl; R5, R8 = H, (un)substituted alkyl, alkenyl, heterocyclyl; or R5 may be absent if A = N; or R8 may be absent if D = N; R6, R7 = H, halo, NO2, CN, etc.; R9 = H, (un)substituted alkyl, aryl, etc.; R10 = H; or R9 and R10 join together to form one or more rings, each having 5-7 members], useful for inhibiting checkpoint kinase 1, inducing cell cycle progression, and increasing apoptosis in cells, were prepared E.g., a multi-step synthesis of 4-amino-3-(benzimidazol-2-yl)-6-(4-methylpiperazinyl)hydroquinolin-2-one, was given. The compds. I were tested against various kinases. Two of the prepared compds. I, 4-[(3S)-1-azabicyclo[2.2.2]oct-3-ylamino]-3-(1H-benimidazol-2-yl)-6-chloroquinolin-2-(1H)-one and 6-chloro-3-[5-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]-4-[(piperidin-2-ylmethyl)amino]quinolin-2(1H)-one, were found to be potent inhibitors of CHK1 with IC50 of 0.32 nM and 0.63 nM, resp. The majority of the exemplary compds. I displayed an IC50 of less than 10 μM with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, Cdk4, MEK1, NEK-2, CHK2, CK1ε, Raf, Fyn, Lck, Rsk2, PAR-1, c-Kit, c-ABL, p60src, FGFR3, FLT-3, PDGFRα, and PDGFRβ. In addition, many of the exemplary compds. exhibited IC50 values in the nM range and show potent activity with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, FGFR3, c-Kit, c-ABL, FLT-3, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, MEK1, CHK2, Fyn, Lck, Rsk2, PAR-1, PDGFRα, and PDGFRβ with IC50 values of less than 1 μM. The compds. I may be used to prepare pharmaceutical compns. and may be used in conjunction with DNA damaging agents.

AN 2005:1223876 CAPLUS

DN 143:477966

TI Preparation of benzimidazole quinolinones for inhibiting a checkpoint kinase 1 and their use in combination therapy for cancer

IN Gesner, Thomas G.; Barsanti, Paul A.; Harrison, Stephen D.; Ni, Zhi-Jie; Brammeier, Nathan M.; Zhou, Yasheen; Le, Vincent P.

PA Chiron Corporation, USA

SO U.S. Pat. Appl. Publ., 249 pp., Cont.-in-part of U.S. Ser. No. 644,055.
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005256157	A1	20051117	US 2005-41191	20050121
	US 2004092535	A1	20040513	US 2003-644055	20030819
	US 2005203101	A1	20050915	US 2004-839793	20040505
PRAI	US 2002-405729P	P	20020823		

	US 2002-426107P	P	20021113
	US 2002-426226P	P	20021113
	US 2002-426282P	P	20021113
	US 2002-428210P	P	20021121
	US 2003-460327P	P	20030403
	US 2003-460328P	P	20030403
	US 2003-460493P	P	20030403
	US 2003-478916P	P	20030616
	US 2003-484048P	P	20030701
	US 2003-644055	A2	20030819
	US 2004-538984P	P	20040123

OS MARPAT 143:477966

IT **668429-33-2P**

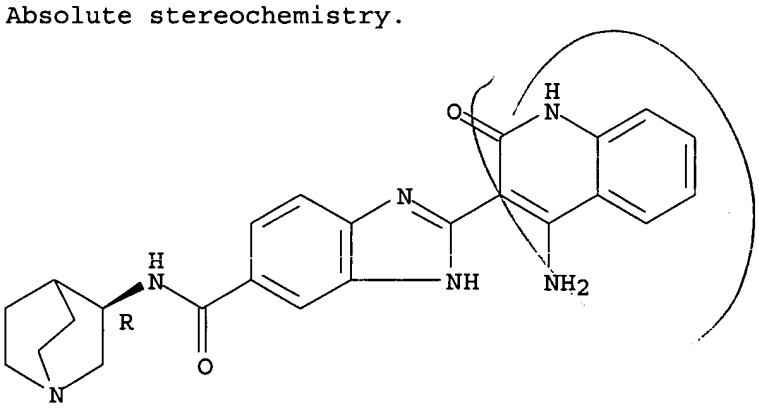
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazole quinolinones for inhibiting a checkpoint kinase 1 and their use in combination therapy for cancer)

RN 668429-33-2 CAPLUS

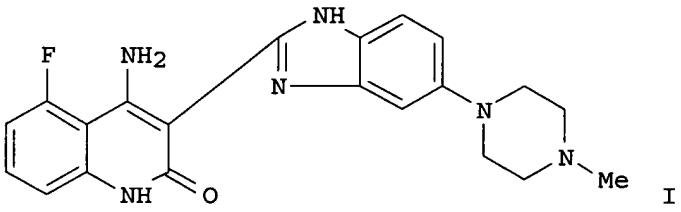
CN 1H-Benzimidazole-5-carboxamide, 2-(4-amino-1,2-dihydro-2-oxo-3-quinolinyl)-N-(3R)-1-azabicyclo[2.2.2]oct-3-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN

GI



I

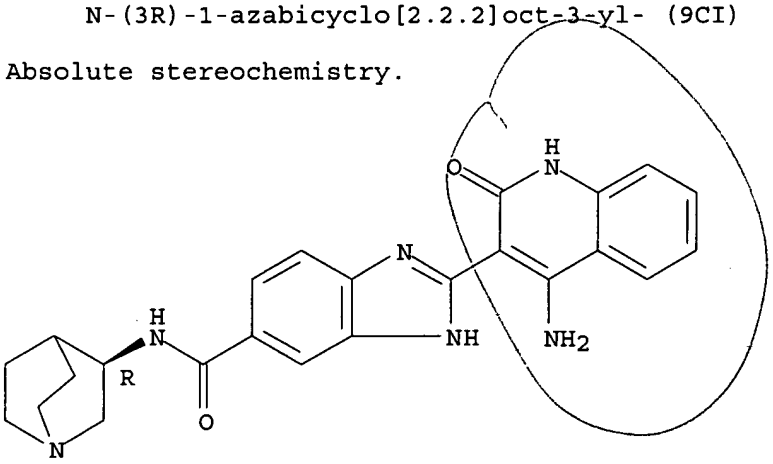
AB The invention provides methods for using of using 4-Amino-3-(benzimidazol-2-yl)quinolin-2-one derivs. (Markush included), or a salt or tautomer thereof, in the treatment of disorders relating to cell adhesion and metastatic processes. Preparation of I is included.

AN 2005:976928 CAPLUS
DN 143:279443
TI 4-Amino-3-(benzimidazol-2-yl)quinolin-2-one derivatives for the modulation of inflammatory and metastatic processes
IN Lee, Sang H.; Heise, Carla C.
PA Chiron Corporation, USA
SO PCT Int. Appl., 145 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005082340	A2	20050909	WO 2005-US5316	20050218
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2005239825	A1	20051027	US 2005-61386	20050218
PRAI	US 2004-546395P	P	20040220		
	US 2004-547103P	P	20040223		
	US 2004-554771P	P	20040319		

OS MARPAT 143:279443
IT **668429-33-2**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(benzimidazolyl aminoquinolinone derivs. for modulation of inflammatory and metastatic processes)
RN 668429-33-2 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-(4-amino-1,2-dihydro-2-oxo-3-quinolinyl)-N-(3R)-1-azabicyclo[2.2.2]oct-3-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN
AB This invention relates to combinations of an atypical antipsychotic, and a nicotinic receptor agonist or antagonist, kits containing such combinations,

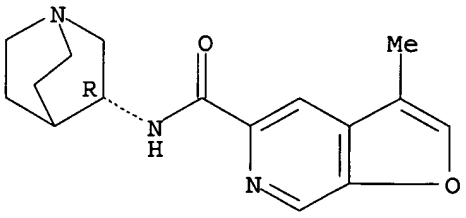
pharmaceutical compns. comprising such combinations, and methods of using such combinations to treat patients suffering from cognitive impairment disorders or psychotic disorders or conditions. A composition was prepared by combining ziprasidone with the nicotinic agonist varenicline tartrate.

AN 2005:612120 CAPLUS
DN 143:139163
TI Combination of an atypical antipsychotic and a nicotinic receptor agonist or antagonist for cognition enhancement and psychotic disorders
IN Romano, Steven Joseph
PA Pfizer Products Inc., USA
SO PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005063296	A2	20050714	WO 2004-IB4174	20041215
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2005215571	A1	20050929	US 2004-18100	20041220
PRAI	US 2003-532082P	P	20031223		

OS MARPAT 143:139163
IT **478148-80-0**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination of an atypical antipsychotic and a nicotinic receptor agonist or antagonist for cognition enhancement and psychotic disorders)
RN 478148-80-0 CAPLUS
CN Furo[2,3-c]pyridine-5-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN
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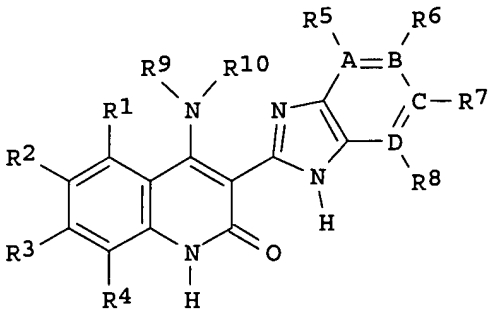
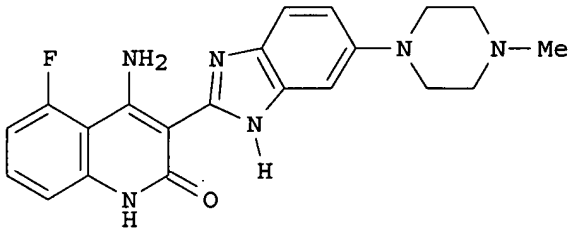


I



II

AB The title compds. I [A, B, C, and D = C, N; R1-R3 = H, halo, CN, NO2, etc.; R4 = H, alkyl; R5-R8 = H, halo, CN, NO2, etc.; R9 = H, (un)substituted alkyl, aryl, etc.; R10 = H], useful for inhibiting fibroblast growth factor receptor 3 or treating a biol. condition mediated by fibroblast growth factor receptor 3, were prepared E.g., a multi-step synthesis of 4-amino-5-fluoro-3-[6-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]-1H-quinolin-2-one (II), starting from 5-chloro-2-nitroaniline and 1-methylpiperazine, was given. The majority of the exemplary compds. I displayed an IC50 of less than 10 μM with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, Cdk4, MEK1, NEK-2, CHK2, CK1ε, Raf, Fyn, Lck, Rsk2, PAR-1, c-Kit, c-ABL, p60src, FGFR3, FLT-3, PDGFRα, and PDGFRβ. In addition, many of the exemplary compds. exhibited IC50 values in the nM range and show potent activity with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, FGFR3, c-Kit, c-ABL, FLT-3, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, MEK1, CHK2, Fyn, Lck, Rsk2, PAR-1, PDGFRα, and PDGFRβ with IC50 values of less than 1 μM. The mentioned above compound II was tested in various tests and showed significant antiproliferative activity. II inhibits FGFR3 receptor phosphorylation and ERK phosphorylation in multiple myeloma cell lines with activating FGFR3 mutations.

AN 2005:451351 CAPLUS

DN 143:7710

TI Preparation of benzimidazole quinolinones for inhibiting FGFR3 and treating multiple myeloma

IN Cai, Shaopei; Chou, Joyce; Harwood, Eric; Heise, Carla C.; Machajewski, Timothy D.; Ryckman, David; Shang, Xiao; Wiesmann, Marion; Zhu, Shuguang

PA Chiron Corporation, USA

SO PCT Int. Appl., 567 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 7

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	WO 2005047244	A2	20050526	WO 2004-US36956	20041105
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2005137399	A1	20050623	US 2004-982757	20041105
	US 2005209247	A1	20050922	US 2004-982543	20041105
PRAI	US 2003-517915P	P	20031107		
	US 2003-526425P	P	20031202		
	US 2003-526426P	P	20031202		
	US 2004-546017P	P	20040219		

date not good

OS MARPAT 143:7710

IT **668429-33-2P**

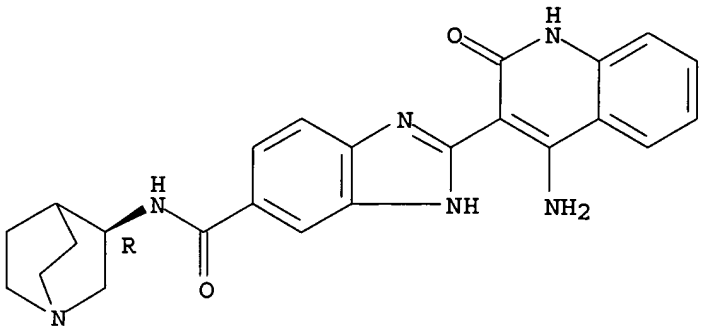
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazole quinolinones for inhibiting FGFR3 and treating multiple myeloma)

RN 668429-33-2 CAPLUS

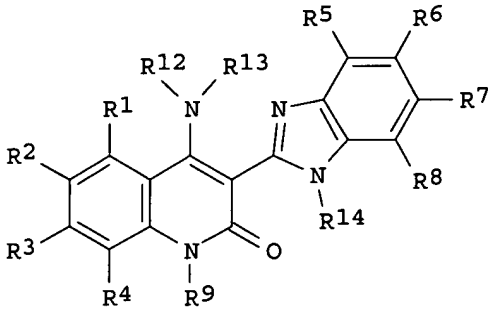
CN 1H-Benzimidazole-5-carboxamide, 2-(4-amino-1,2-dihydro-2-oxo-3-quinolinyl)-N-(3R)-1-azabicyclo[2.2.2]oct-3-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN

GI



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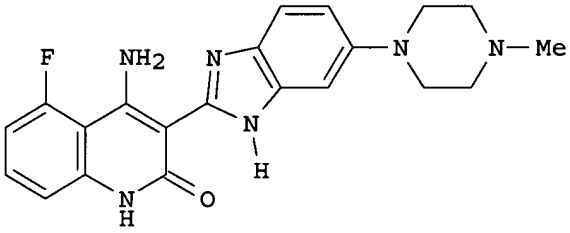


II

AB The title compds. I [R1-R4 = H, halo, CN, NO2, etc.; R5-R8 = H, halo, NO2, etc.; R9 = H; R12 = H, alkyl, aryl, heterocyclyl; R13 = H, alkyl, aryl, heterocyclyl, etc.; R14 = H] and their pharmaceutically acceptable lactate salts, useful for inhibiting vascular endothelial growth factor receptor tyrosine kinase, were prepared E.g., a multi-step synthesis of 4-amino-5-fluoro-3-[6-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]-1H-quinolin-2-one (II) and its lactate salt, starting from 5-chloro-2-nitroaniline and 1-methylpiperazine, was given. The pharmaceutically acceptable salts of I have improved aqueous solubility and desirable drug substance properties. Many of the exemplary compds. I displayed an IC50 of less than 10 μM with respect to Flt-1, KDR, PDGF, c-KIT, FLT-3, VEGFR1, VEGFR2, c-Met, CSF-1, FGFR3 and/or bFGFR. In addition, many of the exemplary compds. exhibited IC50 value of less than 10 μM with respect to PDGFR. The 4-amino substituted compds. I such as II were found to be potent inhibitors of various kinases such as VEGFR2 (KDR, Flk-1), FGFR1 and PDGFRβ with IC50's ranging from 10-27 nM. II inhibits FGFR3 receptor phosphorylation and ERK phosphorylation in multiple myeloma cell lines with activating FGFR3 mutations.

AN 2005:451118 CAPLUS

DN 143:7709

TI Preparation of benzimidazole quinolinones and lactate salts thereof for inhibiting vascular endothelial growth factor receptor tyrosine kinase

IN Cai, Shaopei; Chou, Joyce; Harwood, Eric; Machajewski, Timothy D.; Ryckman, David; Shang, Xiao; Zhu, Shuguang

PA Chiron Corporation, USA

SO PCT Int. Appl., 215 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005046589	A2	20050526	WO 2004-US36941	20041105

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO,
SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
NE, SN, TD, TG

	US 2005137399	A1	20050623	US 2004-982757	20041105
	US 2005209247	A1	20050922	US 2004-982543	20041105
PRAI	US 2003-517915P	P	20031107		
	US 2003-526425P	P	20031202		
	US 2003-526426P	P	20031202		
	US 2004-546017P	P	20040219		

Date not good

OS MARPAT 143:7709

IT **668429-33-2P**

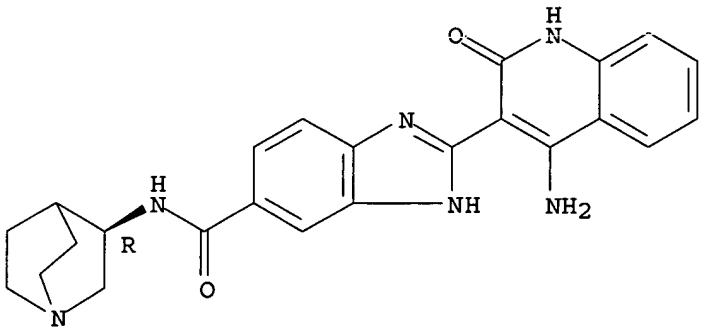
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazole quinolinones and lactate salts thereof for inhibiting vascular endothelial growth factor receptor tyrosine kinase)

RN 668429-33-2 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, 2-(4-amino-1,2-dihydro-2-oxo-3-quinolinyl)-N-(3R)-1-azabicyclo[2.2.2]oct-3-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN

AB The present invention relates to compositions and methods to treat diseases or conditions with alpha-7 nicotinic acetylcholine receptor (AChR) full agonists by decreasing levels of tumor necrosis factor-alpha and/or by stimulating vascular angiogenesis.

AN 2004:633526 CAPLUS

DN 141:167817

TI Treatment of diseases with alpha-7 NACh receptor full agonists

IN Groppi, Vincent Edward, Jr.; Rogers, Bruce Nelsen; Rudmann, Daniel Gregory

PA Pharmacia & Upjohn Company, USA

SO PCT Int. Appl., 142 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004064836	A2	20040805	WO 2004-IB115	20040112
	WO 2004064836	A3	20041223		
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	CA 2513433	AA	20040805	CA 2004-2513433	20040112
	EP 1587511	A2	20051026	EP 2004-701414	20040112
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	US 2006019984	A1	20060126	US 2004-761914	20040121
PRAI	US 2003-441801P	P	20030122		
	WO 2004-IB115	W	20040112		

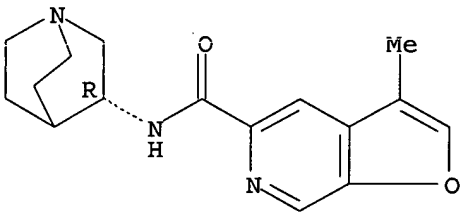
OS MARPAT 141:167817

IT **478148-80-0P**, N-[(3R)-1-Azabicyclo[2.2.2]oct-3-yl]-3-methylfuro[2,3-c]pyridine-5-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(nAChR agonist; preparation of N-(quinuclidinyl)heteroarylamides as nAChR agonists for use in combination therapy for treatment of ADHD)

RN 478148-80-0 CAPLUS

CN Furo[2,3-c]pyridine-5-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN

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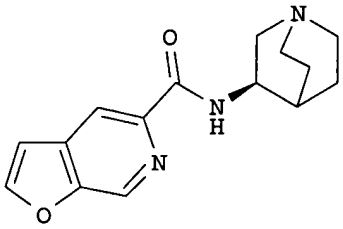


I

AB The invention provides a preparation of mono and hemi fumarate salts of furo[2,3-c]pyridine derivative I, useful as α7 nAChR agonist. The obtained fumarate salts are useful to treat diseases such as Alzheimer's disease, schizophrenia, and arteriosclerosis, etc. (no biol. data). The

title compound I•HO2CC:CCO2H was prepared via amidation of furo[2,3-c]pyridine-5-carboxylic acid with (R)-3-aminoquinuclidine and subsequent fumarate salt formation.

AN 2004:515515 CAPLUS
DN 141:54316
TI A preparation of crystalline fumarate salts of furo[2,3-c]pyridine derivative, useful as α7 nAChR agonists
IN Selbo, Jon Gordon; Hewitt, Bradley Dee; Rappath, David Warner; Wishka, Donn Gregory; Sheikh, Ahmad Yahya
PA Pharmacia & Upjohn Company, USA
SO PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

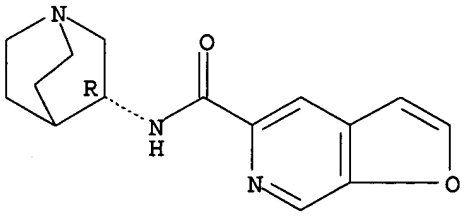
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004052894	A1	20040624	WO 2003-IB5607	20031201
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2506529	AA	20040624	CA 2003-2506529	20031201
	EP 1572700	A1	20050914	EP 2003-812627	20031201
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003017019	A	20051025	BR 2003-17019	20031201
	US 2005165047	A1	20050728	US 2004-962071	20041008
	NO 2005002560	A	20050817	NO 2005-2560	20050526
PRAI	US 2002-431619P	P	20021206		
	WO 2003-IB5607	W	20031201		
	US 2003-729286	B1	20031205		

IT **708261-37-4P**
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(crystal structure; preparation of crystalline fumarate salts of (azabicyclooctyl)furopyridine derivative, useful as α7 nAChR agonists)
RN 708261-37-4 CAPLUS
CN Furo[2,3-c]pyridine-5-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 478149-53-0
CMF C15 H17 N3 O2

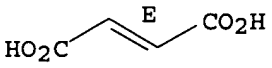
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



L6 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2006 ACS on STN
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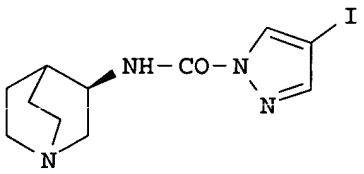

I

AB The compds. of formula: azabicyclo-NH-CO-W [azabicyclo = (substituted) [2.2.2], [2.2.1] or [3.2.1] azabicyclo ring; W = (substituted) pyrazolyl, benzofuranyl, furopyridyl, thiophenyl, furyl, Ph, etc.] as prepared, and are labeled with a radioactive isotopic moiety such as 11C, 18F, 76Br, 123I or 125I. Radiolabeled ligands useful as probes for determining the relative abundance, receptor occupancy, and/or function of nicotinic acetylcholine receptors. Disorders are diagnosed by administering to a mammal a detectably labeled compound and detecting the binding of that compound to the nAChR. The compds. that have been administered are detected using methods including, but not limited to, position emission tomog. and single-photon to emission computed tomog. The present invention is useful in diagnosing a wide variety of diseases and disorders as discussed herein. Thus, I was prepared from Ph chloroformate, 4-iodopyrazole and (R)-(+)-3-aminoquinuclidine dihydrochloride.
AN 2004:515511 CAPLUS
DN 141:54518
TI Preparation of N-azabicyclo carboxamides as radioligands for the diagnosis of disease
IN Rogers, Bruce Nelsen; Piotrowski, David Walter; Groppi, Vincent Edward, Jr.; Jacobsen, Eric Jon; Myers, Jason Kenneth; Walker, Daniel Patrick; Wishka, Donn Gregory; Skaddan, Marc Bradley
PA Pharmacia & Upjohn Company, USA
SO PCT Int. Appl., 80 pp.

CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004052889	A1	20040624	WO 2003-IB5521	20031124

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004157878	A1	20040812	US 2003-729529	20031205
PRAI US 2002-431473P	P	20021206		

OS MARPAT 141:54518
IT **706782-57-2P**
RL: DGN (Diagnostic use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-azabicyclo carboxamides as radioligands for diagnosis of disease)
RN 706782-57-2 CAPLUS
CN 5-Benzofurancarboxamide-11C, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.